

L1 ANSWER 6 OF 10 WPIX COPYRIGHT 2007 THE THOMSON CORP on STN  
 ACCESSION NUMBER: 2000-183843 [17] WPIX  
 DOC. NO. CPI: C2000-057836 [17]  
 TITLE: New 3-(4-amino-5-ethyl-2-pyrimidinyl)-1-(2-  
       fluorobenzyl)-  
       1H-pyrazolo(3,4-b)pyridine useful as a  
       vasodilator,  
       platelet aggregation inhibitor and hypotensive  
       e.g. for  
       treating cardiovascular diseases  
 DERWENT CLASS: B02  
 INVENTOR: ALONSO-ALIJA C; DEMBOWSKY K; FEURER A; FUERSTNER  
       C;  
       FUERSTNER-ROBYR C; HUETTER J; PERZBORN E; STAHL  
 E; STASCH  
       J; STRAUB A  
 PATENT ASSIGNEE: (FARB-C) BAYER AG  
 COUNTRY COUNT: 85

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
DE 19834045	A1	20000203	(200017)*	DE	12[0]	
<--	WO 2000006567	A1	20000210	(200017)	DE	
<--	AU 9951604	A	20000221	(200029)	EN	
	EP 1104421	A1	20010606	(200133)	DE	
	JP 2002521481	W	20020716	(200261)	JA 34	

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
DE 19834045 A1		DE 1998-19834045	19980729
AU 9951604 A		AU 1999-51604	19990716
EP 1104421 A1		EP 1999-936550	19990716
WO 2000006567 A1		***WO 1999-EP5071	
19990716***			
EP 1104421 A1		WO 1999-EP5071	19990716
JP 2002521481 W		WO 1999-EP5071	19990716
JP 2002521481 W		JP 2000-562369	19990716

FILING DETAILS:

PATENT NO	KIND	PATENT NO
AU 9951604 A	Based on	WO 2000006567 A
EP 1104421 A1	Based on	WO 2000006567 A
JP 2002521481 W	Based on	WO 2000006567 A

PRIORITY APPLN. INFO: DE 1998-19834045 19980729  
 AN 2000-183843 [17] WPIX

AB DE 19834045 A1 UPAB: 20060116  
NOVELTY - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-(2-fluorobenzyl)-  
1H-pyrazolo(3,4-b)pyridine (I) is new.  
DETAILED DESCRIPTION - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-  
(2-  
fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine of formula (I) is new.  
INDEPENDENT CLAIMS are also included for:  
(1) medicaments containing (I) and optionally an organic  
nitrate or  
nitric oxide (NO) donor or a compound that inhibits degradation of  
cyclic  
guanosine monophosphate (cGMP);  
(2) the preparation of (I);  
(3) 1-(2-fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine-3-  
carboxamidine  
of formula (II); and  
(4) the preparation of (II).  
ACTIVITY - Anticoagulant; hypotensive; nootropic;  
neuroprotective;  
anxiolytic; antidepressant; analgesic; cardiant; antianginal;  
antiarrhythmic; vasotropic; antiarteriosclerotic; uropathic;  
gynecological; tranquilizer; antimigraine.  
MECHANISM OF ACTION - Soluble guanylate cyclase stimulator.  
Pig  
aorta endothelial cells incubated for 10 minutes in stimulation  
buffer (no  
details given) and then for 10 minutes in the presence of 1  $\mu$ M  
(I) showed  
a more than 10-fold increase in cyclic guanosine monophosphate  
(cGMP)  
level.  
USE - (I) is useful a vasodilator, platelet aggregation  
inhibitor  
and hypotensive agent for increasing coronary blood flow by direct  
stimulation of soluble guanylate cyclase and increase of  
intracellular  
cyclic guanosine monophosphate (cGMP) levels. It is also useful  
for  
treating hypertension, cardiac insufficiency, angina, peripheral  
and  
cardiac vascular disease, arrhythmia, thromboembolic and ischemic  
diseases  
(e.g. myocardial infarction and stroke), peripheral circulatory  
disorders,  
restenosis, arteriosclerosis, urogenital diseases (e.g. prostatic  
hyperplasia), erectile dysfunction, female sexual dysfunction and  
incontinence, as well as diseases of the central nervous system  
caused by  
disorders nitric oxide/cGMP system, e.g. cognitive dysfunction,  
Alzheimer's disease, anxiety, stress, depression, sexual  
dysfunction,  
sleep disorders, eating disorders, migraine and pain.

NOVELTY - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-(2-fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine (I) is new.

DETAILED DESCRIPTION - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-(2-fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine of formula (I) is new.

INDEPENDENT CLAIMS are also included for:

(1) medicaments containing (I) and optionally an organic nitrate or nitric oxide (NO) donor or a compound that inhibits degradation of cyclic guanosine monophosphate (cGMP);

(2) the preparation of (I);

(3) 1-(2-fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine-3-carboxamidine of formula (II); and

(4) the preparation of (II).

ACTIVITY - Anticoagulant; hypotensive; nootropic; neuroprotective; anxiolytic; antidepressant; analgesic; cardiant; antianginal; antiarrhythmic; vasotropic; antiarteriosclerotic; uropathic; gynecological; tranquilizer; antimigraine.

MECHANISM OF ACTION - Soluble guanylate cyclase stimulator.

Pig aorta endothelial cells incubated for 10 minutes in stimulation buffer (no details given) and then for 10 minutes in the presence of 1 μM (I) showed a more than 10-fold increase in cyclic guanosine monophosphate (cGMP) level.

USE - (I) is useful a vasodilator, platelet aggregation inhibitor and hypotensive agent for increasing coronary blood flow by direct stimulation of soluble guanylate cyclase and increase of intracellular cyclic guanosine monophosphate (cGMP) levels. It is also useful for treating hypertension, cardiac insufficiency, angina, peripheral and cardiac vascular disease, arrhythmia, thromboembolic and ischemic diseases (e.g. myocardial infarction and stroke), peripheral circulatory disorders, restenosis, arteriosclerosis, urogenital diseases (e.g. prostatic hyperplasia), erectile dysfunction, female sexual dysfunction and incontinence, as well as diseases of the central nervous system caused by disorders nitric oxide/cGMP system, e.g. cognitive dysfunction, Alzheimer's disease, anxiety, stress, depression, sexual dysfunction, sleep disorders, eating disorders, migraine and pain.

Member (0004)

ABEQ EP 1104421 A1 UPAB 20060116

NOVELTY - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-(2-fluorobenzyl)-1H-

pyrazolo(3,4-b)pyridine (I) is new.

DETAILED DESCRIPTION - 3-(4-Amino-5-ethyl-2-pyrimidinyl)-1-

(2-

fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine of formula (I) is new.

INDEPENDENT CLAIMS are also included for:

(1) medicaments containing (I) and optionally an organic

nitrate or

nitric oxide (NO) donor or a compound that inhibits degradation of cyclic

guanosine monophosphate (cGMP);

(2) the preparation of (I);

(3) 1-(2-fluorobenzyl)-1H-pyrazolo(3,4-b)pyridine-3-carboxamidine

of formula (II); and

(4) the preparation of (II).

ACTIVITY - Anticoagulant; hypotensive; nootropic; neuroprotective;

anxiolytic; antidepressant; analgesic; cardiant; antianginal;

antiarrhythmic; vasotropic; antiarteriosclerotic; uropathic;

gynecological; tranquilizer; antimigraine.

MECHANISM OF ACTION - Soluble guanylate cyclase stimulator.

Pig

aorta endothelial cells incubated for 10 minutes in stimulation

buffer (no details given) and then for 10 minutes in the presence of 1  $\mu$ M (I) showed

a more than 10-fold increase in cyclic guanosine monophosphate (cGMP) level.

USE - (I) is useful a vasodilator, platelet aggregation inhibitor and hypotensive agent for increasing coronary blood flow by direct stimulation of soluble guanylate cyclase and increase of intracellular cyclic guanosine monophosphate (cGMP) levels. It is also useful for

treating hypertension, cardiac insufficiency, angina, peripheral and

cardiac vascular disease, arrhythmia, thromboembolic and ischemic diseases

(e.g. myocardial infarction and stroke), peripheral circulatory disorders,

restenosis, arteriosclerosis, urogenital diseases (e.g. prostatic hyperplasia), erectile dysfunction, female sexual dysfunction and incontinence, as well as diseases of the central nervous system caused by

disorders nitric oxide/cGMP system, e.g. cognitive dysfunction,

Alzheimer's disease, anxiety, stress, depression, sexual dysfunction,

sleep disorders, eating disorders, migraine and pain.